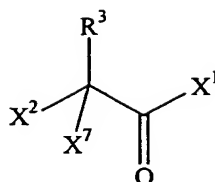


WE CLAIM:

1. A compound of Formula I:



I

in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHX^4$ ;

$X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and

$X^7$  both represent fluoro;

$X^3$  is cyano,  $-C(R^7)(R^8)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH_2C(O)R^{16}$ ,  $-CH=CHS(O)_2R^5$ ,

$-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,

$-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$ ; wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,

$(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl,

hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or

hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl; or where  $X^3$

contains an  $-NR^5R^6$  group,  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both attached, form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;  $R^7$  is

hydrogen or  $(C_{1-4})$ alkyl and  $R^8$  is hydroxy or  $R^7$  and  $R^8$  together form oxo;  $R^{16}$  is hydrogen, -

$X^4$ ,  $-CF_3$ ,  $-CF_2CF_2R^9$  or  $-N(R^6)OR^6$ ;  $R^9$  is hydrogen, halo,  $(C_{1-4})$ alkyl,  $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or

$(C_{5-10})$ heteroaryl $(C_{0-6})$ alkyl, with the proviso that when  $X^3$  is cyano, then  $X^2$  is hydrogen,

fluoro,  $-OH$ ,  $-OR^4$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^4$  comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone,

iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or

$-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or

substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is selected from a group consisting of hydrogen, cyano, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said R<sup>2</sup> any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and -X<sup>5</sup>C(O)R<sup>13</sup>, wherein X<sup>5</sup>, R<sup>12</sup> and R<sup>13</sup> are as defined above;

R<sup>3</sup> is (C<sub>1-6</sub>)alkyl or -C(R<sup>6</sup>)(R<sup>6</sup>)X<sup>6</sup>, wherein R<sup>6</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and X<sup>6</sup> is selected from -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>,

-X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>,  
 -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>,  
 -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>,  
 -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>,  
 5 -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup> wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup>  
 and R<sup>14</sup> are as defined above;

R<sup>4</sup> is selected from -X<sup>8</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>,  
 -X<sup>8</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>OR<sup>12</sup>, -X<sup>8</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>,  
 -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>,  
 10 -X<sup>8</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>8</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>S(O)R<sup>13</sup>,  
 -X<sup>8</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>8</sup>OR<sup>14</sup>, -X<sup>8</sup>SR<sup>14</sup>, -X<sup>8</sup>S(O)R<sup>14</sup>, -X<sup>8</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>,  
 -X<sup>8</sup>OC(O)R<sup>14</sup>, -X<sup>8</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>,  
 -X<sup>8</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>8</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>  
 wherein X<sup>8</sup> is (C<sub>1-6</sub>)alkylene and X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above, with the proviso  
 15 that when X<sup>3</sup> is cyano and X<sup>2</sup> is -OR<sup>4</sup>, where R<sup>4</sup> is defined as -R<sup>14</sup>, then R<sup>14</sup> is  
 (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl,  
 hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or  
 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

R<sup>15</sup> is (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or hetero(C<sub>8-10</sub>)bicycloaryl;

20 R<sup>17</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl,  
 (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or  
 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when X<sup>3</sup> is cyano, then R<sup>17</sup> is  
 (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl,  
 (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or  
 25 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

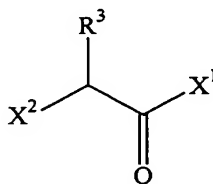
R<sup>18</sup> is hydrogen, (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl,  
 hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl,  
 (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when  
 X<sup>3</sup> is cyano, then R<sup>18</sup> is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl,  
 30 hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl,  
 (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl; and

wherein within R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup>, R<sup>17</sup> and R<sup>18</sup> any alicyclic or aromatic ring system is  
 unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl,

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(C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>,  
 -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>,  
 5 -X<sup>5</sup>C(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>,  
 -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>; and within R<sup>3</sup> and R<sup>4</sup> any aliphatic  
 moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from  
 10 cyano, halo, nitro, -NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>12</sup>, -NR<sup>12</sup>C(O)OR<sup>12</sup>, -NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>,  
 -NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -OR<sup>12</sup>, -SR<sup>12</sup>, -C(O)OR<sup>12</sup>, -C(O)R<sup>12</sup>, -OC(O)R<sup>12</sup>, -C(O)NR<sup>12</sup>R<sup>12</sup>,  
 -S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -NR<sup>12</sup>C(O)R<sup>13</sup>,  
 -S(O)R<sup>13</sup> and -S(O)<sub>2</sub>R<sup>13</sup>; wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as described above, with the proviso  
 that when X<sup>3</sup> is cyano and X<sup>2</sup> is -OR<sup>4</sup>, where R<sup>4</sup> is defined as -R<sup>14</sup>, or -NHR<sup>18</sup>, then any  
 15 aromatic ring system present within R<sup>14</sup> or R<sup>18</sup> is not substituted further by halo,  
 (C<sub>3-10</sub>)cycloalkyl, hetero(C<sub>3-10</sub>)cycloalkyl, (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or  
 hetero(C<sub>8-10</sub>)bicycloaryl; with the proviso that only one bicyclic ring structure is present  
 within R<sup>3</sup>, R<sup>4</sup> or R<sup>15</sup>; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives,  
 individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts  
 20 and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected  
 derivatives, individual isomers and mixtures of isomers thereof.

2. A compound of Claim 1, which is of the following formula:



in which X<sup>2</sup> is hydrogen, fluoro, -OH, -OR<sup>4</sup>, -NHR<sup>15</sup>;  
 R<sup>3</sup>, R<sup>4</sup>, R<sup>15</sup> and X<sup>1</sup> are the same as defined in claim 1.

3. A compound of Claim 1 or Claim 2 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;

$X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^3$  is cyano,  $-C(R^7)(R^8)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH_2C(O)R^{16}$ ,  $-CH=CHS(O)_2R^5$ ,

$-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,

$-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$ ; wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,

$(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl,

hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or

hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl; or where  $X^3$

contains an  $-NR^5R^6$  group,  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both attached, form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;  $R^7$  is

hydrogen or  $(C_{1-4})$ alkyl and  $R^8$  is hydroxy or  $R^7$  and  $R^8$  together form oxo;  $R^{16}$  is hydrogen, -

$X^4$ ,  $-CF_3$ ,  $-CF_2CF_2R^9$  or  $-N(R^6)OR^6$ ;  $R^9$  is hydrogen, halo,  $(C_{1-4})$ alkyl,  $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or

$(C_{5-10})$ heteroaryl $(C_{0-6})$ alkyl, with the proviso that when  $X^3$  is cyano, then  $X^2$  is hydrogen,

fluoro,  $-OH$ ,  $-OR^4$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^4$  comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring

member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,

$-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,

$-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,

$-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$

and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,

$-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,

$-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,

$-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or  $(C_{1-6})$ alkylene;

$R^{12}$  at each occurrence independently is hydrogen,  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl;

$R^{13}$  is  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl; and  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,

hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is selected from a group consisting of hydrogen, cyano, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>,  
 5 -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>,  
 -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>,  
 -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>,  
 -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>,  
 10 -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said R<sup>2</sup> any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl,

15 (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>,  
 -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>,  
 -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and -X<sup>5</sup>C(O)R<sup>13</sup>, wherein X<sup>5</sup>, R<sup>12</sup> and R<sup>13</sup> are as defined above;

20 R<sup>3</sup> is (C<sub>1-6</sub>)alkyl or -C(R<sup>6</sup>)(R<sup>6</sup>)X<sup>6</sup>, wherein R<sup>6</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and X<sup>6</sup> is selected from -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>,  
 -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>,  
 -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>,  
 25 -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>,  
 -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>,  
 -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup> wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above;

R<sup>4</sup> is selected from -X<sup>8</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>,  
 30 -X<sup>8</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>OR<sup>12</sup>, -X<sup>8</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>,  
 -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>8</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>8</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>,  
 -X<sup>8</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>8</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>8</sup>S(O)R<sup>13</sup>,  
 -X<sup>8</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>8</sup>OR<sup>14</sup>, -X<sup>8</sup>SR<sup>14</sup>, -X<sup>8</sup>S(O)R<sup>14</sup>, -X<sup>8</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>,

$-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  
 $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$   
 wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above, with the proviso  
 that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , then  $R^{14}$  is

(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl,  
 hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or  
 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

$R^{15}$  is (C<sub>6-10</sub>)aryl, hetero(C<sub>5-10</sub>)aryl, (C<sub>9-10</sub>)bicycloaryl or hetero(C<sub>8-10</sub>)bicycloaryl;

$R^{17}$  is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl,  
 (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or  
 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when  $X^3$  is cyano, then  $R^{17}$  is  
 (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl,  
 (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or  
 hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl;

$R^{18}$  is hydrogen, (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl,  
 hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl,  
 (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl, with the proviso that when  
 $X^3$  is cyano, then  $R^{18}$  is (C<sub>1-6</sub>)alkyl, (C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl,  
 hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>1-6</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>1-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl,  
 (C<sub>9-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>1-6</sub>)alkyl; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  
 (C<sub>4-8</sub>)heterocycloalkylene, wherein no more than one of the ring member atoms comprising  
 the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or  
 substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  
 $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,  
 $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as  
 defined above;

wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is  
 unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl,  
 (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  
 $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  
 $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  
 $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,

$-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  
 $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  
 $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  
 $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic  
5 moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from  
cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  
 $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  
 $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  
 $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above, with the proviso  
10 that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , or  $-NHR^{18}$ , then any  
aromatic ring system present within  $R^{14}$  or  $R^{18}$  is not substituted further by halo,  
 $(C_{3-10})$ cycloalkyl, hetero $(C_{3-10})$ cycloalkyl,  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or  
hetero $(C_{8-10})$ bicycloaryl; with the proviso that only one bicyclic ring structure is present  
within  $R^3$ ,  $R^4$  or  $R^{15}$ ; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives,  
15 individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts  
and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected  
derivatives, individual isomers and mixtures of isomers thereof.

4. The compound of Claim 1 or Claim 2 in which:  
20  $X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;  
 $X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  
 $X^7$  both represent fluoro;  
 $X^3$  is  $-C(R^7)(R^8)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH_2C(O)R^{16}$ ,  $-CH=CHS(O)_2R^5$ ,  
 $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,  
25  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$ ; wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,  
 $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl,  
hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or  
hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl; or where  $X^3$   
contains an  $-NR^5R^6$  group,  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both  
30 attached, form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;  $R^7$  is  
hydrogen or  $(C_{1-4})$ alkyl and  $R^8$  is hydroxy or  $R^7$  and  $R^8$  together form oxo;  $R^{16}$  is hydrogen,  $-$   
 $X^4$ ,  $-CF_3$ ,  $-CF_2CF_2R^9$  or  $-N(R^6)OR^6$ ;  $R^9$  is hydrogen, halo,  $(C_{1-4})$ alkyl,  $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  
 $(C_{5-10})$ heteroaryl $(C_{0-6})$ alkyl;



$X^4$  comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof, with the proviso that when  $-X^4$  is other than a heteromonocyclic ring containing 5 ring member atoms, wherein no more than two of the ring member atoms comprising the ring are heteroatoms, then  $X^2$  is fluoro,  $-OH$ ,  $-OR^4$ ,  $-NHR^{15}$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or  $(C_{1-6})$ alkylene;  $R^{12}$  at each occurrence independently is hydrogen,  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl;  $R^{13}$  is  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl; and  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is selected from a group consisting of hydrogen, cyano,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-R^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-8})$ cycloalkylene or  $(C_{3-8})$ heterocycloalkylene; wherein within said  $R^2$  any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,

$-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  
 $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  
 $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  
 $-X^5S(O)_2R^{13}$  and  $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

5  $R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is  
 selected from  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  
 $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  
 $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  
 $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  
 10  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  
 $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  
 $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$   
 and  $R^{14}$  are as defined above;

$R^4$  is selected from  $-X^8NR^{12}R^{12}$ ,  $-X^8NR^{12}C(O)R^{12}$ ,  $-X^8NR^{12}C(O)OR^{12}$ ,  
 15  $-X^8NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^8OR^{12}$ ,  $-X^8SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  
 $-X^5C(O)R^{12}$ ,  $-X^8OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^8S(O)_2NR^{12}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{12}$ ,  
 $-X^8P(O)(OR^{12})OR^{12}$ ,  $-X^8OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^8NR^{12}C(O)R^{13}$ ,  $-X^8S(O)R^{13}$ ,  
 $-X^8S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^8OR^{14}$ ,  $-X^8SR^{14}$ ,  $-X^8S(O)R^{14}$ ,  $-X^8S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  
 $-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  
 20  $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$   
 wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

$R^{15}$  is  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl;

$R^{17}$  is hydrogen,  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,  
 hetero $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  
 25  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^{18}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl,  
 $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or  
 hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  
 30  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising  
 the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or  
 substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  
 $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,

$-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above; with the proviso that only one bicyclic ring structure is present within  $R^3$ ,  $R^4$  or  $R^{15}$ ; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

5. A compound of Claim 1 or Claim 2 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;

$X^2$  is hydrogen, fluoro,  $-OH$ ,  $-OR^4$  or  $-NR^{17}R^{18}$  and  $X^7$  is hydrogen or  $X^2$  and  $X^7$  both represent fluoro;

$X^3$  is cyano;

wherein within  $X^3$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,

-X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup> and -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and  
 5 -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup> is a bond or (C<sub>1-6</sub>)alkylene; R<sup>12</sup> at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; R<sup>13</sup> is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and R<sup>14</sup> is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

10 R<sup>1</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and R<sup>2</sup> is selected from a group consisting of hydrogen, cyano, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>,  
 15 -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>14</sup>R<sup>12</sup> and -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>14</sup>R<sup>12</sup>, wherein X<sup>5</sup>, R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> are as defined above; or R<sup>1</sup> and R<sup>2</sup> taken together with the carbon atom to which both R<sup>1</sup> and R<sup>2</sup> are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said R<sup>2</sup> any  
 20 heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro, -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>,  
 25 -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup> and -X<sup>5</sup>C(O)R<sup>13</sup>, wherein X<sup>5</sup>, R<sup>12</sup> and R<sup>13</sup> are as defined above;

30 R<sup>3</sup> is (C<sub>1-6</sub>)alkyl or -C(R<sup>6</sup>)(R<sup>6</sup>)X<sup>6</sup>, wherein R<sup>6</sup> is hydrogen or (C<sub>1-6</sub>)alkyl and X<sup>6</sup> is selected from -X<sup>5</sup>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(NR<sup>12</sup>)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>12</sup>, -X<sup>5</sup>OC(O)R<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup>, -X<sup>5</sup>P(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>OP(O)(OR<sup>12</sup>)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>S(O)R<sup>13</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>S(O)R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>OC(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>NR<sup>12</sup>C(O)OR<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>NR<sup>14</sup>R<sup>12</sup>,

$-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

$R^4$  is selected from  $-X^8NR^{12}R^{12}$ ,  $-X^8NR^{12}C(O)R^{12}$ ,  $-X^8NR^{12}C(O)OR^{12}$ ,  
 $-X^8NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^8NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^8OR^{12}$ ,  $-X^8SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  
 5  $-X^5C(O)R^{12}$ ,  $-X^8OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^8S(O)_2NR^{12}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{12}$ ,  
 $-X^8P(O)(OR^{12})OR^{12}$ ,  $-X^8OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^8NR^{12}C(O)R^{13}$ ,  $-X^8S(O)R^{13}$ ,  
 $-X^8S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^8OR^{14}$ ,  $-X^8SR^{14}$ ,  $-X^8S(O)R^{14}$ ,  $-X^8S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  
 $-X^8OC(O)R^{14}$ ,  $-X^8NR^{14}R^{12}$ ,  $-X^8NR^{12}C(O)R^{14}$ ,  $-X^8NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  
 $-X^8S(O)_2NR^{14}R^{12}$ ,  $-X^8NR^{12}S(O)_2R^{14}$ ,  $-X^8NR^{12}C(O)NR^{14}R^{12}$  and  $-X^8NR^{12}C(NR^{12})NR^{14}R^{12}$

10 wherein  $X^8$  is  $(C_{1-6})$ alkylene and  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above, with the proviso that when  $X^3$  is cyano and  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , then  $R^{14}$  is

$(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl,  
 hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or  
 hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl;

15  $R^{15}$  is  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl;

$R^{17}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl,  
 $(C_{6-10})$ aryl $(C_{1-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or  
 hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl;

$R^{18}$  is  $(C_{1-6})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{1-6})$ alkyl,  
 20  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{1-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{1-6})$ alkyl or  
 hetero $(C_{8-10})$ bicycloaryl $(C_{1-6})$ alkyl; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  
 $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising  
 the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein the ring is unsubstituted or  
 25 substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  
 $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,  
 $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as  
 defined above;

wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is  
 30 unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  
 $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  
 $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  
 $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,

$-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  
 $-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  
 $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  
 $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  
5  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{14})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic  
moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from  
cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  
 $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  
 $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  
10  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above, with the proviso  
that when  $X^2$  is  $-OR^4$ , where  $R^4$  is defined as  $-R^{14}$ , or  $-NHR^{18}$ , then any aromatic ring system  
present within  $R^{14}$  or  $R^{18}$  is not substituted further by halo,  $(C_{3-10})$ cycloalkyl,  
hetero $(C_{3-10})$ cycloalkyl,  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or  
hetero $(C_{8-10})$ bicycloaryl; with the proviso that only one bicyclic ring structure is present  
15 within  $R^3$ ,  $R^4$  or  $R^{15}$ ; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives,  
individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts  
and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected  
derivatives, individual isomers and mixtures of isomers thereof.

20 6. A compound of Claim 1 or 2 in which:  
 $X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ ;  
 $X^2$  is  $-OH$ ,  $-OC(O)NR^{12}R^{12}$  or  $-OC(O)R^{14}$ , wherein  $R^{12}$  and  $R^{14}$  are as defined below;  
 $X^3$  is cyano,  $-C(R^7)(R^8)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH_2C(O)R^{16}$ ,  $-CH=CHS(O)_2R^5$ ,  
 $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,  
25  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$ ; wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,  
 $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl,  
hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or  
hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl; or where  $X^3$   
contains an  $-NR^5R^6$  group,  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both  
30 attached, form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;  $R^7$  is  
hydrogen or  $(C_{1-4})$ alkyl and  $R^8$  is hydroxy or  $R^7$  and  $R^8$  together form oxo;  $R^{16}$  is hydrogen, -  
 $X^4$ ,  $-CF_3$ ,  $-CF_2CF_2R^9$  or  $-N(R^6)OR^6$ ;  $R^9$  is hydrogen, halo,  $(C_{1-4})$ alkyl,  $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  
 $(C_{5-10})$ heteroaryl $(C_{0-6})$ alkyl;

$X^4$  comprises a heteromonocyclic ring containing 4 to 7 ring member atoms or a fused heterobicyclic ring system containing 8 to 14 ring member atoms and any carbocyclic ketone, iminoketone or thioketone derivative thereof;

wherein within  $R^5$ ,  $X^3$  or  $X^4$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or (C<sub>1-6</sub>)alkylene;  $R^{12}$  at each occurrence independently is hydrogen, (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl;  $R^{13}$  is (C<sub>1-6</sub>)alkyl or halo-substituted(C<sub>1-6</sub>)alkyl; and  $R^{14}$  is (C<sub>3-10</sub>)cycloalkyl(C<sub>0-6</sub>)alkyl, hetero(C<sub>3-10</sub>)cycloalkyl(C<sub>0-3</sub>)alkyl, (C<sub>6-10</sub>)aryl(C<sub>0-6</sub>)alkyl, hetero(C<sub>5-10</sub>)aryl(C<sub>0-6</sub>)alkyl, (C<sub>9-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl or hetero(C<sub>8-10</sub>)bicycloaryl(C<sub>0-6</sub>)alkyl;

$R^1$  is hydrogen or (C<sub>1-6</sub>)alkyl and  $R^2$  is selected from a group consisting of hydrogen, cyano,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-R^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form (C<sub>3-8</sub>)cycloalkylene or (C<sub>3-8</sub>)heterocycloalkylene; wherein within said  $R^2$  any heteroaryl, aryl, cycloalkyl, heterocycloalkyl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with 1 to 3 radicals independently selected from (C<sub>1-6</sub>)alkyl, (C<sub>1-6</sub>)alkylidene, cyano, halo, halo-substituted(C<sub>1-4</sub>)alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,

$-X^5S(O)_2R^{13}$  and  $-X^5C(O)R^{13}$ , wherein  $X^5$ ,  $R^{12}$  and  $R^{13}$  are as defined above;

$R^3$  is  $(C_{1-6})$ alkyl or  $-C(R^6)(R^6)X^6$ , wherein  $R^6$  is hydrogen or  $(C_{1-6})$ alkyl and  $X^6$  is selected from  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5S(O)_2R^{13}$ ,  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$  wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above; and

$R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}-$  or  $-O-$ , wherein and the ring is unsubstituted or substituted with  $R^2$ , wherein  $R^2$  is as defined above, and  $R^{21}$  is hydrogen,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ,  $-S(O)R^{14}$ ,  $-S(O)_2R^{14}$ ,  $-C(O)R^{14}$ ,  $-C(O)OR^{14}$ ,  $-C(O)NR^{12}R^{12}$  and  $-S(O)_2NR^{14}R^{12}$ , wherein  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as defined above;

wherein within  $R^3$ ,  $R^4$ ,  $R^{15}$ ,  $R^{17}$  and  $R^{18}$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted  $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5C(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ ; and within  $R^3$  and  $R^4$  any aliphatic moiety is unsubstituted or substituted further by 1 to 5 radicals independently selected from cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are as described above; with the proviso that only one bicyclic ring structure is present within  $R^3$ ,  $R^4$  or  $R^{15}$ ; and the *N*-oxide



derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

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7. The compound of Claim 1 or Claim 2 in which:

$X^1$  is  $-NHC(R^1)(R^2)C(O)C(O)NR^5R^6$ , wherein  $R^5$  is hydrogen,  $(C_{1-4})$ alkyl,  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl and  $R^6$  is hydrogen, hydroxy or  $(C_{1-6})$ alkyl or  $R^5$  and  $R^6$  together with the nitrogen atom to which they are both attached form hetero $(C_{3-10})$ cycloalkyl, hetero $(C_{5-10})$ aryl or hetero $(C_{8-10})$ bicycloaryl;

$X^2$  is hydrogen;

wherein within  $X^1$  any alicyclic or aromatic ring system is unsubstituted or substituted

15 further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,  $-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$  and  $-X^5S(O)_2R^{13}$  and/or 1 radical selected from  $-R^{14}$ ,  $-X^5OR^{14}$ ,  $-X^5SR^{14}$ ,  $-X^5S(O)R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)OR^{14}$ ,  $-X^5OC(O)R^{14}$ ,  $-X^5NR^{14}R^{12}$ ,  $-X^5NR^{12}C(O)R^{14}$ ,  $-X^5NR^{12}C(O)OR^{14}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{14}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{14}$ ,  $-X^5NR^{12}C(O)NR^{14}R^{12}$  and  $-X^5NR^{12}C(NR^{12})NR^{14}R^{12}$ , wherein  $X^5$  is a bond or  $(C_{1-6})$ alkylene;  $R^{12}$  at each occurrence independently is hydrogen,  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl;  $R^{13}$  is  $(C_{1-6})$ alkyl or halo-substituted $(C_{1-6})$ alkyl; and  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl, hetero $(C_{3-10})$ cycloalkyl $(C_{0-3})$ alkyl,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl, hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl,  $(C_{9-10})$ bicycloaryl $(C_{0-6})$ alkyl or hetero $(C_{8-10})$ bicycloaryl $(C_{0-6})$ alkyl;

$R^1$  is hydrogen and  $R^2$  is  $(C_{1-6})$ alkyl; and

$R^3$  is  $-CH_2X^6$ , wherein  $X^6$  is  $-X^5NR^{12}S(O)_2R^{12}$  or  $-X^5S(O)_2R^{14}$  wherein  $X^5$ ,  $R^{12}$  and  $R^{14}$

30 are as defined above;

wherein within  $R^3$  any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from  $(C_{1-6})$ alkyl,  $(C_{1-6})$ alkylidene, cyano, halo, halo-substituted $(C_{1-4})$ alkyl, nitro,  $-X^5NR^{12}R^{12}$ ,  $-X^5NR^{12}C(O)R^{12}$ ,  $-X^5NR^{12}C(O)OR^{12}$ ,

$-X^5NR^{12}C(O)NR^{12}R^{12}$ ,  $-X^5NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{12}$ ,  $-X^5C(O)OR^{12}$ ,  
 $-X^5C(O)R^{12}$ ,  $-X^5OC(O)R^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2NR^{12}R^{12}$ ,  $-X^5NR^{12}S(O)_2R^{12}$ ,  
 $-X^5P(O)(OR^{12})OR^{12}$ ,  $-X^5OP(O)(OR^{12})OR^{12}$ ,  $-X^5NR^{12}C(O)R^{13}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5C(O)R^{13}$  and  
 $-X^5S(O)_2R^{13}$  and within  $R^3$  any aliphatic moiety is unsubstituted or substituted further by 1 to  
5 radicals independently selected from cyano, halo, nitro,  $-NR^{12}R^{12}$ ,  $-NR^{12}C(O)R^{12}$ ,  
 $-NR^{12}C(O)OR^{12}$ ,  $-NR^{12}C(O)NR^{12}R^{12}$ ,  $-NR^{12}C(NR^{12})NR^{12}R^{12}$ ,  $-OR^{12}$ ,  $-SR^{12}$ ,  $-C(O)OR^{12}$ ,  
 $-C(O)R^{12}$ ,  $-OC(O)R^{12}$ ,  $-C(O)NR^{12}R^{12}$ ,  $-S(O)_2NR^{12}R^{12}$ ,  $-NR^{12}S(O)_2R^{12}$ ,  $-P(O)(OR^{12})OR^{12}$ ,  
 $-OP(O)(OR^{12})OR^{12}$ ,  $-NR^{12}C(O)R^{13}$ ,  $-S(O)R^{13}$  and  $-S(O)_2R^{13}$ ; wherein  $X^5$ ,  $R^{12}$ ,  $R^{13}$  and  $R^{14}$  are  
as described above; with the proviso that only one bicyclic ring structure is present within  $R^3$ ;  
10 and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and  
mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such  
compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual  
isomers and mixtures of isomers thereof.

8. The compound of Claim 3 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ , wherein  $R^1$  is hydrogen or  $(C_{1-6})$ alkyl  
and  $R^2$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5OR^{12}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5OR^{14}$ ,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl or  
hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$   
and  $R^2$  are attached form  $(C_{3-6})$ cycloalkylene or  $(C_{3-6})$ heterocycloalkylene, wherein within  
20 said  $R^2$  any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or  
substituted with  $(C_{1-6})$ alkyl or hydroxy, wherein  $X^3$  is cyano,  $-C(O)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  
 $-CH=CHS(O)_2R^5$ ,  $-CH_2C(O)R^{16}$ ,  $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  
 $-C(O)CH_2OR^5$ ,  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$  and  $R^{19}$  and  $R^{20}$  together with the  
atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more  
25 than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}-$   
or  $-O-$ , wherein the ring is unsubstituted or substituted with  $(C_{1-6})$ alkyl or  $-X^5C(O)OR^{12}$  and  
 $R^{21}$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5C(O)R^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-R^{14}$ ,  $-X^5C(O)R^{14}$  or  $-C(O)OR^{14}$ ;

$X^2$  is  $-OH$  or  $-OC(O)NR^{12}R^{12}$ , wherein each  $R^{12}$  independently represent hydrogen or  
 $(C_{1-6})$ alkyl, wherein said alkyl is unsubstituted or substituted with hydroxy or methoxy, or  $X^2$   
30 is  $-OC(O)NHR^{14}$ , wherein  $R^{14}$  is  $(C_{3-10})$ cycloalkyl $(C_{0-6})$ alkyl or  
hetero $(C_{3-10})$ cycloalkyl $(C_{1-3})$ alkyl, or  $X^2$  is  $-OC(O)R^{14}$ , wherein  $R^{14}$  is  $-NR^{22}R^{23}$  and  $R^{22}$  and  
 $R^{23}$  together with the nitrogen atom to which both  $R^{22}$  and  $R^{23}$  attached form a  
hetero $(C_{4-6})$ cycloalkyl ring, which ring may be unsubstituted or substituted with hydroxy; and

$R^3$  is  $-CH_2X^6$ ; wherein  $X^6$  is selected from  $-X^5SR^{12}$ ,  $-X^5C(O)NR^{12}R^{12}$ ,  $-X^5S(O)_2R^{13}$ ,  $-X^5C(O)R^{13}$ ,  $-X^5OR^{12}$ ,  $-X^5SR^{14}$ ,  $-X^5R^{14}$ ,  $-X^5S(O)_2R^{14}$ ,  $-X^5C(O)R^{14}$ ,  $-X^5C(O)NR^{14}R^{12}$ ; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

9. The compound of Claim 8 in which:

$X^3$  is cyano,  $-C(O)X^4$ ,  $-C(O)H$ ,  $-C(O)N(CH_3)OCH_3$ ,  $-CH(OCH_3)_2$ ,  $-C(O)CF_3$ ,  $-C(O)CF_2CF_3$ ,  $-CH_2C(O)R^{16}$ , (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1 $\square$ <sup>6</sup>-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydropyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3*H*-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-indol-2-yl)-2-oxo-acetyl, benzothiazol-2-ylaminooxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzooxazol-2-yl-2-oxo-ethyl;

$X^2$  is selected from  $-OH$ , dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydropyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydropyran-4-yl)amino, isopropylamino and cyclohexylamino; 4-*tert*-butoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-benzyl-carbamoyloxy, pyrrolidin-1-yl-carbonyloxy, *N,N*-dimethyl-carbamoyloxy, piperidin-1-yl-carbonyloxy, 4-methanesulfonylpiperazin-1-yl-carbonyloxy, 4-ethoxycarbonylpiperazin-1-ylcarbonyloxy, *N*-cyclohexyl-carbamoyloxy, *N*-phenyl-carbamoyloxy, *N*-(5,6,7,8-tetrahydro-naphthalen-1-yl)-carbamoyloxy, *N*-butyl-*N*-methyl-carbamoyloxy, *N*-pyridin-3-yl-carbamoyloxy, *N*-isopropyl-carbamoyloxy, *N*-pyridin-4-yl-carbamoyloxy, *N*-cyanomethyl-*N*-methyl-carbamoyloxy, *N,N*-bis-(2-methoxy-ethyl)-carbamoyloxy, *N*-phenethyl-carbamoyloxy, piperazine-carbonyloxy, *N*-naphthalen-2-yl-carbamoyloxy, 4-benzyl-piperazine-1-carbamoyloxy, 4-(1-furan-2-yl-

carbonyl)-piperazine-1-carbamoyloxy, thiomorpholin-4-yl- carbonyloxy, 1,1-dioxo-1 $\lambda$ <sup>6</sup>-thiomorpholin-4-yl)- carbonyloxy, bis-(2-methoxy-ethyl)-carbamoyloxy, morpholin-4-ylcarbonyloxy, 2-methoxyethylcarbamoyloxy, diethylcarbamoyloxy, pyrrolidin-1-ylcarbonyloxy, 2-hydroxyethylcarbamoyloxy, tetrahydro-furan-2-ylmethylcarbamoyloxy, cyclopropylcarbamoyloxy, *tert*-butylcarbamoyloxy, 3-hydroxy-pyrrolidin-1-yl-carbonyloxy  
 5 and carbamoyloxy; and

R<sup>3</sup> is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl,  
 10 2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl,  
 15 2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl,  
 20 2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl, 3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl, 2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl, 4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl, 3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl,  
 25 2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl, 2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl, 3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl, 3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl,  
 30 2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl, biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl, 2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl,

2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl, 2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl, 2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl, 2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenyl-methanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl, 4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenyl-methanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl, 3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-sulfonylmethyl, 2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-ethyl, (cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl, 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-benzenesulfonyl-ethyl, isobutylsulfanylmethyl, 2-phenylsulfanyl-ethyl, cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl, benzyl, naphthalen-2-yl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl, phenylsulfanyl-ethyl, cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-phenyl-propyl, 2,2-difluoro-3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl, 2,2-difluoro-3-thien-2-yl-propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  and  $-X^5S(O)_2R^{14}$ , wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

10. A compound of Claim 9 in which:

$X^3$  is 1*H*-benzoimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl, benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl,

3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1  $\square^6$ -thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl or 1-benzoyl-piperidin-4-ylaminooxalyl;

$X^2$  is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy, piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, isopropylamino and cyclohexylamino;

$R^3$  is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  or  $-X^5S(O)_2R^{14}$ , wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

11. The compound of Claim 3 in which:

$X^1$  is  $-NHC(R^1)(R^2)X^3$  or  $-NHCH(R^{19})C(O)R^{20}$ , wherein  $R^1$  is hydrogen or  $(C_{1-6})$ alkyl and  $R^2$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5OR^{12}$ ,  $-X^5S(O)R^{13}$ ,  $-X^5OR^{14}$ ,  $(C_{6-10})$ aryl $(C_{0-6})$ alkyl or hetero $(C_{5-10})$ aryl $(C_{0-6})$ alkyl or  $R^1$  and  $R^2$  taken together with the carbon atom to which both  $R^1$  and  $R^2$  are attached form  $(C_{3-6})$ cycloalkylene or  $(C_{3-6})$ heterocycloalkylene, wherein within said  $R^2$  any heteroaryl, aryl, cycloalkylene or heterocycloalkylene is unsubstituted or substituted with  $(C_{1-6})$ alkyl or hydroxy, wherein  $X^3$  is cyano,  $-C(O)R^{16}$ ,  $-C(R^6)(OR^6)_2$ ,  $-CH=CHS(O)_2R^5$ ,  $-CH_2C(O)R^{16}$ ,  $-C(O)CF_2C(O)NR^5R^5$ ,  $-C(O)C(O)NR^5R^6$ ,  $-C(O)C(O)OR^5$ ,  $-C(O)CH_2OR^5$ ,  $-C(O)CH_2N(R^6)SO_2R^5$  or  $-C(O)C(O)R^5$  and  $R^{19}$  and  $R^{20}$  together with the atoms to which  $R^{19}$  and  $R^{20}$  are attached form  $(C_{4-8})$ heterocycloalkylene, wherein no more than one of the ring member atoms comprising the ring is a heteroatom selected from  $-NR^{21}$  or  $-O-$ , wherein the ring is unsubstituted or substituted with  $(C_{1-6})$ alkyl or  $-X^5C(O)OR^{12}$  and  $R^{21}$  is hydrogen,  $(C_{1-6})$ alkyl,  $-X^5C(O)R^{12}$ ,  $-X^5C(O)OR^{12}$ ,  $-R^{14}$ ,  $-X^5C(O)R^{14}$  or  $-C(O)OR^{14}$ ;

$X^2$  is  $-NHR^{15}$ , wherein  $R^{15}$  is  $(C_{6-10})$ aryl, hetero $(C_{5-10})$ aryl,  $(C_{9-10})$ bicycloaryl or hetero $(C_{8-10})$ bicycloaryl, or  $-NR^{17}R^{18}$ , wherein  $R^{17}$  is hetero $(C_{3-10})$ cycloalkyl and  $R^{18}$  is hydrogen or  $R^{17}$  and  $R^{18}$  independently are  $(C_{6-10})$ aryl $(C_{1-6})$ alkyl or

hetero(C<sub>5-10</sub>)aryl(C<sub>1-6</sub>)alkyl, wherein within R<sup>15</sup>, R<sup>17</sup> and R<sup>18</sup> any alicyclic or aromatic ring system is unsubstituted or substituted further by 1 to 5 radicals independently selected from (C<sub>1-6</sub>)alkyl, cyano, halo, nitro, halo-substituted(C<sub>1-4</sub>)alkyl, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>C(O)OR<sup>12</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>NR<sup>12</sup>S(O)<sub>2</sub>R<sup>12</sup> and/or 1 radical selected from -R<sup>14</sup>, -X<sup>5</sup>OR<sup>14</sup> and -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>; and

R<sup>3</sup> is -CH<sub>2</sub>X<sup>6</sup>; wherein X<sup>6</sup> is selected from -X<sup>5</sup>SR<sup>12</sup>, -X<sup>5</sup>C(O)NR<sup>12</sup>R<sup>12</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>13</sup>, -X<sup>5</sup>C(O)R<sup>13</sup>, -X<sup>5</sup>OR<sup>12</sup>, -X<sup>5</sup>SR<sup>14</sup>, -X<sup>5</sup>R<sup>14</sup>, -X<sup>5</sup>S(O)<sub>2</sub>R<sup>14</sup>, -X<sup>5</sup>C(O)R<sup>14</sup>, -X<sup>5</sup>C(O)NR<sup>14</sup>R<sup>12</sup>; and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

12. The compound of Claim 11 in which:

X<sup>3</sup> is cyano, -C(O)X<sup>4</sup>, -C(O)H, -C(O)N(CH<sub>3</sub>)OCH<sub>3</sub>, -CH(OCH<sub>3</sub>)<sub>2</sub>, -C(O)CF<sub>3</sub>, -C(O)CF<sub>2</sub>CF<sub>3</sub>, -CH<sub>2</sub>C(O)R<sup>16</sup>, (E)-2-benzenesulfonyl-vinyl, 2-dimethylcarbamoyl-2,2-difluoro-acetyl, 2-oxo-2-pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1<sup>□</sup>6-thiomorpholin-4-yl)-2-oxo-acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl, phenylaminooxalyl, 1-benzoyl-piperidin-4-ylaminooxalyl, 1-benzylcarbamoyl-methanoyl, 1-benzyloxy(oxalyl), 2-benzyloxy-acetyl, 2-benzenesulfonylamino-ethanoyl, 2-oxo-2-phenyl-ethanoyl, 3*H*-oxazole-2-carbonyl, 5-trifluoromethyl-oxazole-2-carbonyl, 3-trifluoromethyl-[1,2,4]oxadiazole-5-carbonyl, 2,2,3,3,3-pentafluoro-propionyl, hydroxyaminooxalyl, oxalyl, 2-(1,3-dihydro-isoindol-2-yl)-2-oxo-acetyl, benzothiazol-2-ylaminooxalyl, 2-oxo-ethyl, 2-oxazol-2-yl-2-oxo-ethyl or 2-benzooxazol-2-yl-2-oxo-ethyl;

X<sup>2</sup> is selected from 5-nitrothiazol-2-ylamino, 2-nitrophenylamino, pyrimidin-2-ylamino, tetrahydro-pyran-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-pyran-4-yl)amino, 1-methyl-piperidin-4-ylamino, isopropylamino, di(thien-2-ylmethyl)amino or di(benzyl)amino; and

R<sup>3</sup> is thiophene-2-sulfonyl-methyl, 3-chloro-2-fluoro-phenyl-methane-sulfonyl-methyl, benzene-sulfonyl-methyl, phenyl-methane-sulfonyl-methyl, 2-(1,1-difluoro-methoxy)-phenyl-methane-sulfonyl-methyl, 2-benzene-sulfonyl-ethyl, 2-(pyridine-2-sulfonyl)-ethyl,

2-(pyridine-4-sulfonyl)-ethyl, 2-phenyl-methanesulfonyl-ethyl, oxy-pyridin-2-yl-methane-sulfonyl-methyl, prop-2-ene-1-sulfonyl-methyl, 4-methoxy-phenyl-methane-sulfonyl-methyl, *p*-tolyl-methane-sulfonyl-methyl, 4-chloro-phenyl-methane-sulfonyl-methyl, *o*-tolyl-methane-sulfonyl-methyl, 3,5-dimethyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 2-bromo-phenyl-methane-sulfonyl-methyl, pyridin-2-yl-methane-sulfonyl-methyl, pyridin-3-yl-methane-sulfonyl-methyl, pyridin-4-yl-methane-sulfonyl-methyl, naphthalen-2-yl-methane-sulfonyl-methyl, 3-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 3-trifluoro-methoxy-phenyl-methane-sulfonyl-methyl, 4-fluoro-2-trifluoromethoxy-phenyl-methane-sulfonylmethyl, 2-fluoro-6-trifluoromethyl-phenylmethanesulfonylmethyl, 3-chloro-phenylmethanesulfonylmethyl, 2-fluoro-phenylmethanesulfonylmethyl, 2-trifluoro-phenylmethanesulfonylmethyl, 2-cyano-phenylmethanesulfonylmethyl, 4-*tert*-butyl-phenylmethanesulfonylmethyl, 2-fluoro-3-methyl-phenyl-methane-sulfonyl-methyl, 3-fluoro-phenylmethanesulfonylmethyl, 4-fluoro-phenylmethane-sulfonylmethyl, 2-chloro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenylmethane-sulfonylmethyl, 2,6-difluoro-phenylmethanesulfonylmethyl, 2,5-dichloro-phenyl-methane-sulfonylmethyl, 3,4-dichloro-phenylmethanesulfonylmethyl, 2-(1,1-difluoro-methoxy)-phenyl-methanesulfonylmethyl, 2-cyano-phenyl-methane-sulfonyl-methyl, 3-cyano-phenylmethanesulfonylmethyl, 2-trifluoro-methoxy-phenyl-methane-sulfonylmethyl, 2,3-difluoro-phenylmethanesulfonylmethyl, 2,5-difluoro-phenyl-methanesulfonylmethyl, biphenyl-2-ylmethanesulfonylmethyl, cyclohexylmethyl, 3-fluoro-phenyl-methanesulfonylmethyl, 3,4-difluoro-phenyl-methanesulfonylmethyl, 2,4-difluoro-phenylmethanesulfonylmethyl, 2,4,6-trifluoro-phenylmethanesulfonylmethyl, 2,4,5-trifluoro-phenylmethanesulfonylmethyl, 2,3,4-trifluoro-phenylmethanesulfonylmethyl, 2,3,5-trifluoro-phenyl-methane-sulfonylmethyl, 2,5,6-trifluoro-phenylmethanesulfonylmethyl, 2-chloro-5-trifluoro-methylphenylmethanesulfonylmethyl, 2-methyl-propane-1-sulfonyl, 2-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-4-trifluoro-methylphenylmethanesulfonylmethyl, 2-fluoro-5-trifluoro-methyl-phenyl-methane-sulfonyl-methyl, 4-fluoro-3-trifluoro-methylphenylmethanesulfonylmethyl, 2-methoxy-phenyl-methanesulfonylmethyl, 3,5-bis-trifluoromethyl-phenylmethanesulfonylmethyl, 4-difluoromethoxy-phenylmethanesulfonylmethyl, 2-difluoro-methoxy-phenyl-methanesulfonylmethyl, 3-difluoromethoxy-phenylmethanesulfonylmethyl, 2,6-dichloro-



phenylmethanesulfonylmethyl, biphenyl-4-ylmethanesulfonylmethyl,  
 3,5-dimethyl-isoxazol-4-ylmethanesulfonylmethyl, 5-chloro-thien-2-yl-methane-  
 sulfonylmethyl, 2-[4-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl,  
 2-[2-(1,1-difluoro-methoxy)-benzenesulfonyl]-ethyl, 2-[3-(1,1-difluoro-  
 5 methoxy)-benzenesulfonyl]-ethyl, 2-(4-trifluoromethoxy-benzenesulfonyl)-ethyl,  
 2-(3-trifluoromethoxy-benzenesulfonyl)-ethyl, 2-(2-trifluoro-methoxy-benzene-sulfonyl)-  
 ethyl, (cyanomethyl-methyl-carbamoyl)-methyl, biphenyl-3-ylmethyl,  
 2-oxo-2-pyrrolidin-1-yl-ethyl, 2-benzenesulfonyl-ethyl, isobutylsulfanylmethyl,  
 2-phenylsulfanyl-ethyl, cyclohexylmethanesulfonylmethyl, 2-cyclohexyl-ethanesulfonyl,  
 10 benzyl, naphthalen-2-yl, benzylsulfanylmethyl, 2-trifluoromethyl-benzylsulfanylmethyl,  
 phenylsulfanyl-ethyl, cyclopropyl-methanesulfonylmethyl, 5-bromo-thien-2-ylmethyl, 3-  
 phenyl-propyl, 2,2-difluoro-3-phenyl-propyl, 3,4,5-trimethoxy-phenylmethanesulfonylmethyl,  
 2,2-difluoro-3-thien-2-yl-propyl, cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl,  
 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl,  
 15 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  and  $-X^5S(O)_2R^{14}$ ,  
 wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; and the  
*N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and  
 mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates of such  
 compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual  
 20 isomers and mixtures of isomers thereof.

13. A compound of Claim 12 in which:

$X^3$  is 1*H*-benzoimidazol-2-ylcarbonyl, pyrimidin-2-ylcarbonyl,  
 benzooxazol-2-ylcarbonyl, benzothiazol-2-ylcarbonyl, pyridazin-3-ylcarbonyl,  
 25 3-phenyl-[1,2,4]oxadiazol-5-ylcarbonyl or 3-ethyl-[1,2,4]oxadiazol-5-ylcarbonyl, 2-oxo-2-  
 pyrrolidin-1-yl-acetyl, 2-morpholin-4-yl-2-oxo-acetyl, 2-oxo-2-piperazin-1-yl-acetyl, 2-(4-  
 methanesulfonyl-piperazin-1-yl)-2-oxo-acetyl, 2-(1,1-dioxo-1 $\square$ <sup>6</sup>-thiomorpholin-4-yl)-2-oxo-  
 acetyl, dimethylaminooxalyl, tetrahydro-pyran-4-ylaminooxalyl, 2-morpholin-4-yl-  
 ethylaminooxalyl, cyclopentyl-ethyl-aminooxalyl, pyridin-3-ylaminooxalyl,  
 30 phenylaminooxalyl or 1-benzoyl-piperidin-4-ylaminooxalyl;

$X^2$  is selected from -OH, dimethylcarbamoyloxy, morpholin-4-ylcarbonyloxy,  
 piperidin-1-yl-carbonyloxy, pyrrolidin-1-yl-carbonyloxy, pyrimidin-2-ylamino, tetrahydro-  
 pyran-4-ylamino, 1-methyl-piperidin-4-ylamino, *N*-(2-methoxyethyl)-*N*-(tetrahydro-

pyran-4-yl)amino, isopropylamino and cyclohexylamino;

$R^3$  is cyclohexylethyl, cyclohexylmethyl, *tert*-butylmethyl, 1-methylcyclohexylmethyl, 1-methylcyclopentylmethyl, 2,2-difluoro-3-phenylpropyl, 2,2-dimethyl-3-phenylpropyl, 1-benzylcyclopropylmethyl,  $-X^5S(O)_2R^{13}$  or  $-X^5S(O)_2R^{14}$ , wherein  $R^{13}$  is alkyl and  $R^{14}$  is phenyl which phenyl is unsubstituted or substituted; and the pharmaceutically acceptable salts and solvates of such compounds and the *N*-oxide derivatives, prodrug derivatives, protected derivatives, individual isomers and mixtures of isomers thereof.

14. A compound of Claim 1 selected from the group consisting of:

(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

(*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(Tetrahydrofuran-2-ylmethyl)-carbamic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

- (S)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 (S)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 (S)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 (S)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 5 (R)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 (S)-3-hydroxy-pyrrolidine-1-carboxylic acid (S)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
 (S)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;  
 10 morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;  
 morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;  
 15 pyrrolidine-1-carboxylic acid (R)-1-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 dimethyl-carbamic acid (R)-1-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 20 morpholine-4-carboxylic acid (R)-1-[(S)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 morpholine-4-carboxylic acid (S)-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 morpholine-4-carboxylic acid (S)-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;  
 25 (S)-2-{(R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-N-methoxy-N-methyl-butylamide;  
 (R)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-N-((S)-1-formyl-propyl)-2-hydroxy-propionamide;  
 30 (R)-N-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;  
 (S)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;

*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;

5 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;

10 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-116-thiomorpholin-4-yl)-1-ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

15 3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid cyclopentyl-ethyl-amide;

20 3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid phenylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (tetrahydro-pyran-4-yl)-amide;

25 3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-morpholin-4-yl-ethyl)-amide;

30 (R)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;

*N*-[1-(benzooxazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-propionamide.

- (R)-*N*-[(S)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;
- (2S) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(S)-cyano-3-phenyl-propyl)-amide;
- N*-(1(S)-cyano-3-phenyl-propyl)-2-(S)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-
- 5 butyramide;
- N*-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-fluoro-4-phenyl-butyramide;
- N*-(1-(S)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;
- N*-(1-(S)-cyano-3-phenyl-propyl)-2-(S)-hydroxy-4-phenyl-butyramide;
- N*-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;
- 10 *N*-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;
- 2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;
- N*-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;
- 2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;
- N*-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;
- 15 *N*-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;
- (S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
- (R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-ethyl ester;
- 20 (S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;
- (R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
- (R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;
- 25 3-cyclohexyl-2-hydroxy-*N*-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;
- (R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;
- (R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;
- 30 (R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;
- (R)-*N*-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-

propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

5 4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

15 (R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

20 (R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

25 {(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

30 {(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

5    ((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

10   {(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-

phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

15   (R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

20   {(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-

cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

25   (R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

(R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-

30   phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;



(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

5 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

10 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

15 S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

20 (R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

25 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

30 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

N-cyanomethyl-3-cyclohexyl-propionamide;

N-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;

3-cyclohexyl-*N*-(1-formyl-3-phenyl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;

*N*-[(*S*)-1-(benzooxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;

*N*-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;

2-benzyloxy-*N*-cyanomethyl-3-cyclohexyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-benzyloxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;

(*S*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilyloxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-2-hydroxy-3-phenylmethanesulfonyl-*N*-[(*S*)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;

(*S*)-3-((*R*)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethyl-morpholin-3-one; and their corresponding N-oxides, and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof; and the pharmaceutically acceptable salts and solvates (e.g. hydrates) of such compounds and their N-oxides and their prodrugs, and their protected derivatives, individual isomers and mixtures of isomers thereof.

15. A compound of claim 14 selected from the group consisting of:

(*R*)-*N*-cyanomethyl-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-(1-cyano-1-thiophen-2-yl-methyl)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

(*R*)-*N*-cyanomethyl-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

5 morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;

10 (*R*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

(*S*)-diethyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-pyrrolidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

15 (*S*)-4-Ethyl-piperazine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-2-hydroxymethyl-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2,2,2-Trifluoro-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

20 (*S*)-(2-hydroxyethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;  
(Tetrahydrofuran-2-ylmethyl)-carbamic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-Azetidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-cyclopropyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

25 (*S*)-piperidine-1-carboxylic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-(2-methoxy-ethyl)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*R*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

30 (*S*)-3-hydroxy-pyrrolidine-1-carboxylic acid (*S*)-1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(*S*)-morpholine-4-carboxylic acid 1-(cyanomethyl-carbamoyl)-3-cyclohexyl-propyl ester;

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

- morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;
- morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester;
- 5 pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
- dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
- morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
- 10 morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
- morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;
- 15 (*S*)-2-{(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propanoylamino}-*N*-methoxy-*N*-methyl-butylamide;
- (*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-[(*S*)-1-formyl-propyl]-2-hydroxy-propionamide;
- (*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;
- 20 (*S*)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;
- N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propionamide;
- 25 *N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-3-phenyl-propyl]-3-*p*-tolylmethanesulfonyl-propionamide;
- 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-pyrrolidin-1-yl-propyl)-propionamide;
- 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-3-morpholin-4-yl-2,3-dioxo-propyl)-propionamide;
- 30 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-(1-ethyl-2,3-dioxo-3-piperazin-1-yl-propyl)-propionamide;
- 3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[3-(1,1-dioxo-1,6-thiomorpholin-4-yl)-1-

ethyl-2,3-dioxo-propyl]-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-*N*-[1-ethyl-3-(4-methyl-sulfonyl-piperazin-1-yl)-2,3-dioxo-propyl]-propionamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
5 dimethylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
cyclopentyl-ethyl-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
phenylamide;

10 3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
pyridin-3-ylamide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid  
(tetrahydro-pyran-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (1-  
15 benzoyl-piperidin-4-yl)-amide;

3-[3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionylamino]-2-oxo-pentanoic acid (2-  
morpholin-4-yl-ethyl)-amide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-  
phenylmethanesulfonyl-propionamide;

20 *N*-[1-(benzooxazole-2-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(pyrimidin-2-ylamino)-  
propionamide.

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-  
phenylmethanesulfonyl-propionamide;

(2*S*) (4,4-difluoro-2-hydroxy-5-phenyl-pentanoic acid (1(*S*)-cyano-3-phenyl-propyl)-amide;

25 *N*-(1(*S*)-cyano-3-phenyl-propyl)-2-(*S*)-(2-morpholin-4-yl-2-oxo-ethoxy)-4-phenyl-  
butyramide;

*N*-(1(*S*)-cyano-3-phenyl-propyl)-2-(*S*)-fluoro-4-phenyl-butyramide;

*N*-(1(*S*)-cyano-3-phenyl-propyl)-2,2-difluoro-4-phenyl-butyramide;

*N*-(1(*S*)-cyano-3-phenyl-propyl)-2-(*S*)-hydroxy-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-hydroxy-4-phenyl-butyramide;

N-(1-(S)-cyano-3-phenyl-propyl)-2-(R)-methoxy-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid (1-cyano-cyclopropyl)-amide;

N-(1-(S)-cyano-3-phenyl-propyl)-4-phenyl-butyramide;

5 2,2-difluoro-5-phenyl-pentanoic acid ((S)-1-cyano-3-phenyl-propyl)-amide;

N-(4-cyano-1-ethyl-piperidin-4-yl)-3-cyclohexyl-propionamide;

N-(4-cyano-1-ethyl-piperidin-4-yl)-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

(S)-tert-butyl-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

10 (R)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-(2-difluoromethoxy-phenylmethanesulfonyl)-ethyl ester;

(S)-carbamic acid 1-(cyanomethyl-carbamoyl)-2-cyclohexyl-ethyl ester;

(R)-morpholine-4-carboxylic acid 1-(1-cyano-cyclopropylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

15 (R)-morpholine-4-carboxylic acid 1-(4-cyano-tetrahydro-pyran-4-ylcarbamoyl)-2-phenylmethanesulfonyl-ethyl ester;

3-cyclohexyl-2-hydroxy-N-[1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propyl]-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

20 (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

25 (R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

30 (R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-

propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

(S)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

(R)-N-[1-(benzothiazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

(1S)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-(S)-fluoro-4-phenyl-butyramide;

2,2-difluoro-5-phenyl-pentanoic acid [(S)-1-(benzoxazole-2-carbonyl)-butyl]-amide;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-2-cyclohexyl-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(oxazolo[4,5-b]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-2-cyclohexyl-1-[(S)-1-(5-phenyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-ethyl ester;

morpholine-4-carboxylic acid (S)-1-[(S)-1-(benzoxazole-2-carbonyl)-propylcarbamoyl]-3-cyclohexyl-propyl ester;

4-[4,4-dimethyl-2-(morpholine-4-carbonyloxy)-pentanoylamino]-3-oxo-azepane-1-carboxylic acid benzyl ester;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-butyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-cyclopropylmethanesulfonyl-propionamide;

(R)-N-[1-(benzoxazole-2-carbonyl)-butyl]-2-cycloheptylamino-3-cyclopropylmethanesulfonyl-propionamide;

5 (R)-3-phenylmethanesulfonyl-N-[(S)-3-phenyl-1-(thiazole-2-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazole-2-carbonyl)-3-phenyl-propyl]-3-cyclopropylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

10 (R)-3-cyclopropylmethanesulfonyl-N-[1-(5-ethyl-1,2,4-oxadiazole-3-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-3-phenylmethanesulfonyl-N-[1-(3-phenyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[1-(3-cyclopropyl-1,2,4-oxadiazole-5-carbonyl)-propyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

15 {(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

20 {(S)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-thiophen-2-yl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzothiazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

25 {(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

30 ((R)-2-cyclopropylmethanesulfonyl-1-[(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl]-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;



{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

5 {(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-1-{1-[hydroxy-(3-phenyl-1,2,4-oxadiazol-5-yl)-methyl]-propylcarbamoyl}-2-phenylmethanesulfonyl-ethyl)-carbamic acid tert-butyl ester;

10 ((R)-2-cyclopropylmethanesulfonyl-1-{(S)-1-[(5-ethyl-1,2,4-oxadiazol-3-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

{(R)-1-[1-(benzoxazol-2-yl-hydroxy-methyl)-butylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

{(R)-1-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-3-phenyl-propylcarbamoyl]-2-cyclopropylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

15 {(R)-1-[(S)-1-(hydroxy-thiazol-2-yl-methyl)-3-phenyl-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl}-carbamic acid tert-butyl ester;

(R)-2-phenylmethanesulfonyl-1-{(S)-1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-hydroxy-methyl]-propylcarbamoyl}-ethyl)-carbamic acid tert-butyl ester;

20 (R)-N-[1-(Benzoxazole-2-carbonyl)-butyl]-2-[cyclopropylmethyl-(tetrahydro-pyran-4-ylmethyl)-amino]-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

25 (R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

30 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(1-methyl-piperidin-4-ylamino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(bis-thiophen-2-ylmethyl-amino)-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dibenzylamino-3-phenylmethanesulfonyl-propionamide;

5 (S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-(tetrahydro-pyran-4-ylamino)-3-thiophen-2-yl-propionamide;

S)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-thiophen-2-yl-propionamide;

10 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[1-(benzothiazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

15 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

20 (R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

(R)-N-[(S)-1-(benzoxazol-2-yl-hydroxy-methyl)-butyl]-2-dimethylamino-3-phenylmethanesulfonyl-propionamide;

N-cyanomethyl-3-cyclohexyl-propionamide;

N-cyanomethyl-3-(2-difluoromethoxy-phenylmethanesulfonyl)-propionamide;

25 3-(3-cyclohexyl-propionylamino)-2-oxo-5-phenyl-pentanoic acid thiazol-2-ylamide;

3-cyclohexyl-N-(1-formyl-3-phenyl-propyl)-propionamide;

3-(2-difluoromethoxy-phenylmethanesulfonyl)-N-[(S)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propyl]-propionamide;

30 N-[(S)-1-(benzooxazole-2-carbonyl)-propyl]-2-(2-cyano-phenylamino)-3-cyclohexyl-propionamide;

N-Cyanomethyl-3-cyclohexyl-2-(4-methoxy-phenoxy)-propionamide;

2-benzyloxy-N-cyanomethyl-3-cyclohexyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-benzyloxy-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-methoxymethoxy-3-phenylmethanesulfonyl-propionamide;

5 (*S*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-hydroxy-3-phenyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-phenylmethanesulfonyl-2-triisopropylsilanyloxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenylmethanesulfonyl-propionamide;

10 (*R*)-2-hydroxy-3-phenylmethanesulfonyl-*N*-[(*S*)-1-(1-pyridazin-3-yl-methanoyl)-butyl]-propionamide;

(*S*)-3-((*R*)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide;

15 (*R*)-*N*-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide; and

(2*R*,5*S*)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonylmethyl]-6-ethoxy-5-ethyl-morpholin-3-one.

20

16. A compound of claim 15 selected from the group consisting of:

morpholine-4-carboxylic acid (*R*)-1-(cyanomethyl-carbamoyl)-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 31);

25 morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 11);

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 14);

30

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzothiazol-2-yl-methanoyl)-propylcarbamoyl]-2-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-ethyl ester, (Compound 15);

35

pyrrolidine-1-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 19);

dimethyl-carbamic acid (*R*)-1-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 20);

morpholine-4-carboxylic acid (*R*)-1-[(*S*)-1-(1-benzylcarbamoyl-methanoyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester, (Compound 25);

morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

morpholine-4-carboxylic acid (*S*)-1-[(*S*)-1-(5-ethyl-[1,3,4]oxadiazole-2-carbonyl)-propylcarbamoyl]-2-phenylmethanesulfonyl-ethyl ester;

(*R*)-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-*N*-((*S*)-1-formyl-propyl)-2-hydroxy-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-hydroxy-3-phenyl-methanesulfonyl-propionamide;

(*S*)-3-{3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-propanoylamino}-2-oxo-pentanoic acid benzylamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-2-(2-nitro-phenylamino)-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-butyl]-2-(5-nitro-thiazol-2-ylamino)-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-3-phenylmethanesulfonyl-2-(tetrahydro-pyran-4-ylamino)-propionamide;

(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-isopropylamino-3-phenylmethanesulfonyl propionamide;

(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-[(2-methoxy-ethyl)-(tetrahydro-pyran-4-yl)-amino]-3-phenylmethanesulfonyl-propionamide;

(*R*)-*N*-[(*S*)-1-(benzoxazole-2-carbonyl)-butyl]-2-cyclohexylamino-3-phenylmethanesulfonyl-propionamide;

morpholine-4-carboxylic acid (*S*)-2-cyclohexyl-1-[(*S*)-1-(oxazolo[4,5-*b*]pyridine-2-carbonyl)-propylcarbamoyl]-ethyl ester;

(*S*)-3-((*R*)-2-hydroxy-3-phenylmethanesulfonyl-propanoylamino)-2-oxo-pentanoic acid benzylamide;

(*R*)-*N*-[(*S*)-1-(1-benzooxazol-2-yl-methanoyl)-propyl]-3-[2-(1,1-difluoro-methoxy)-phenylmethanesulfonyl]-2-hydroxy-propionamide.

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17. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1 in combination with a pharmaceutically acceptable excipient.

5 18. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 2 in combination with a pharmaceutically acceptable excipient.

19. A method for treating a disease in an animal in which inhibition of Cathepsin S can prevent, inhibit or ameliorate the pathology and/or symptomology of the disease, which method comprises administering to the animal a therapeutically effective amount of  
10 compound of Claim 1 or Claim 2.

20. The use of a compound of Claim 1 or 2 in the manufacture of a medicament for treating a disease in an animal in which Cathepsin S activity contributes to the pathology and/or symptomology of the disease.

15